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(71) Applicant (for all designated States except US): SYNGENTA PARTICIPATIONS AG [CH/CH]; Schwarzwaldallee 215, CH-4058 Basel (CH).

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(72) Inventors; and

(75) Inventors/Applicants (for US only): WALTER, Harald [DE/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH). TRAH, Stephan [DE/CH]; Syngenta Crop Protection AG, Schwarzwaldallee 215, CH-4058 Basel (CH).

(74) Agent: BASTIAN, Werner; Syngenta Participations AG, Intellectual Property, P.O. Box, CH-4002 Basel (CH).

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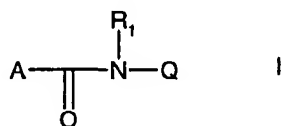
(54) Title: CARBOXAMIDES AS FUNGICIDES IN AGRICULTURE

(57) Abstract: The invention concerns novel carboxamides of formula (I) wherein A is (A1), (A2), (A3), (A4), (A5); Q is (Q1), (Q2), (Q3), (Q4), (Q5), (Q6); R₁ is CH₂ R₂, CH₂CH=CHR₂, CH=C=CHR₂ or COR₃; R₂ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, COOC₁-C₄alkyl, COOC₃-C₆alkenyl, COOC₃-C₆alkynyl or CN; R₃ is C₁-C₆alkyl, C₁-C₆alkyl substituted by halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; or is C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₃-C₆alkenyloxy or C₃-C₆haloalkenyloxy; C₃-C₆haloalkenyloxy; C₃-C₆alkynyloxy or C₃-C₆haloalkynyloxy; R₄ is methyl, CF₂Cl, CF₃, CF₂H, CTH₂, Cl or Br; R₅ is methyl, CF₃, CH₂OCH₃ or CH₂OCT₃; R₆ is hydrogen, fluoro, CF₃ or methyl; R₇ is hydrogen, methyl or halogen; and Z is phenyl, halophenyl, C₅-C₇cycloalkyl, C₅-C₇cycloalkyl substituted by C₁-C₃alkyl, C₁-C₃haloalkyl or halogen, or a group of the form -CHR₈-CH₂-CHR₉R₁₀ wherein R₈, R₉ and R₁₀ are independently of each other C₁-C₃alkyl. The novel compounds have plant-protective properties and are suitable for protecting plants against infestation by phytopathogenic microorganisms, in particular fungi.

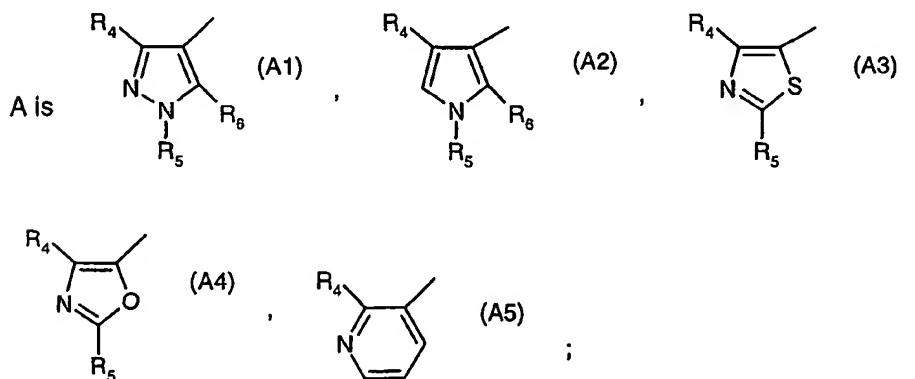
CARBOXAMIDES AS FUNGICIDES IN AGRICULTURE

The present invention relates to novel carboxamides which have microbicidal activity, in particular fungicidal activity. The invention also relates to the preparation of these substances, to agrochemical compositions which comprise at least one of the novel compounds as active ingredient, to the preparation of the compositions mentioned, to a method of protecting plants against attack or infestation by phytopathogenic organisms, preferably fungi, by applying the novel compounds as specified hereinafter to a part and/or the site of a plant and to the use of said novel compounds or compositions thereof in agriculture and horticulture for controlling or preventing infestation of plants by phytopathogenic microorganisms, preferably fungi.

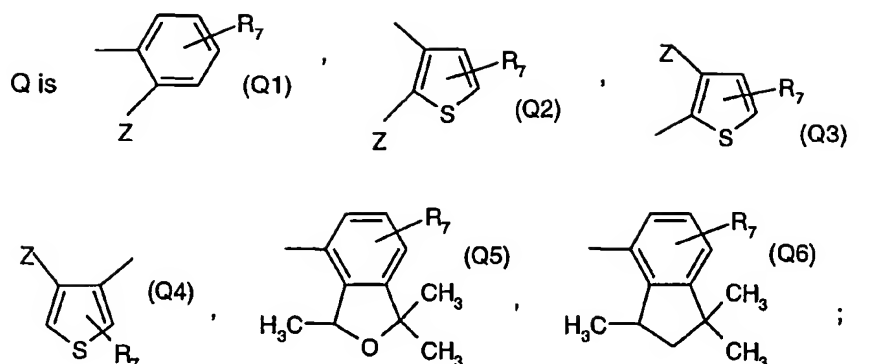
The carboxamides of the present invention have the general formula I



wherein



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R_1 is $CH_2 \equiv R_2$, $CH_2CH=CHR_2$, $CH=C=CHR_2$ or COR_3 ;

R_2 is hydrogen, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, $COOC_1$ - C_4 alkyl, $COOC_3$ - C_6 alkenyl, $COOC_3$ - C_6 alkynyl or CN ;

R_3 is C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted by halogen, C_1 - C_6 alkoxy or C_1 - C_6 haloalkoxy; or is C_1 - C_6 alkylthio, C_1 - C_6 haloalkylthio, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy; C_3 - C_6 alkenyloxy or C_3 - C_6 haloalkenyloxy; C_3 - C_6 alkynyloxy or C_3 - C_6 haloalkynyloxy;

R_4 is methyl, CF_2Cl , CF_3 , CF_2H , CFH_2 , Cl or Br ;

R_5 is methyl, CF_3 , CH_2OCH_3 or CH_2OCF_3 ;

R_6 is hydrogen, fluoro, CF_3 or methyl;

R_7 is hydrogen, methyl or halogen; and

Z is phenyl, halophenyl, C_5 - C_7 cycloalkyl, C_5 - C_7 cycloalkyl substituted by C_1 - C_3 alkyl,

C_1 - C_3 haloalkyl or halogen, or a group of the form  wherein R_8 , R_9 and R_{10}

are independently of each other C_1 - C_3 alkyl.

Surprisingly, it has now been found that the compounds of formula I exhibit improved biological properties which render them more suitable for the practical use in agriculture and horticulture.

Where asymmetrical carbon atoms are present in the compounds of formula I, these compounds are in optically active form. The invention relates to the pure isomers, such as enantiomers and diastereomers, as well as to all possible mixtures of isomers, e.g. mixtures of diastereomers, racemates or mixture of racemates.

Within the present specification alkyl denotes methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, isopropyl, isobutyl, sec.-butyl, tert.-butyl, isopentyl, neopentyl and isohexyl. Non-branched alkyl is preferred. Alkyl as part of other radicals such as alkoxy, haloalkyl, etc. is understood in an analogous way. Halogen will be understood generally as meaning fluoro, chloro, bromo or iodo. Fluoro, chloro or bromo are preferred meanings. Halogen as part of other radicals such as haloalkyl, haloalkoxy, etc. is understood in an analogous way.

Haloalkyl is preferably C₁-C₆alkyl, more preferably lower alkyl, that is linear or branched and is substituted by one or more, for example in the case of halo-ethyl up to five, halogen atoms, especially fluorine. As example is trifluoromethyl.

Haloalkoxy is preferably C₁-C₆alkoxy, that is linear or branched and that is substituted by one or more halogen atoms, especially fluorine; trifluoromethoxy, perfluoroethyl and 1,1,2,2-tetrafluoroethoxy are preferred.

Cycloalkyl is, depending on the ring size, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl.

Alkenyl will be understood as meaning straight-chain or branched alkenyl such as allyl, methallyl, 1-methylvinyl or but-2-en-1-yl. Preferred alkenyl radicals contain 3 to 4 carbon atoms in the chain, i.e. allyl or 2-butenyl. This also applies where alkenyl is part of haloalkenyl, alkenyloxy or haloalkenyloxy.

Alkynyl can likewise, in accordance with the number of carbon atoms, be straight-chain or branched and is typically propargyl, but-2-yn-1-yl or but-1-yn-3-yl. The same definitions apply where alkynyl is part of alkynyloxy or haloalkynyloxy.

Among the compounds of formula I according to the present invention the following groups of compounds are preferred. These groups are those wherein

A is A1, A2, A3, A4 or A5, or

A is A1, A2 or A3, or

A is A1 or A2, or

Q is Q1, Q2, Q3, Q4, Q5 or Q6, or

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Q is Q5 or Q6, or

Q is Q1 or Q6, or

R_1 is $\text{CH}_2\text{---}\equiv\text{---}R_2$, $\text{CH}_2\text{CH=CHR}_2$, CH=C=CHR_2 or COR_3 , or

R_2 is hydrogen, $\text{C}_1\text{--C}_4$ alkyl, $\text{C}_1\text{--C}_4$ haloalkyl, $\text{C}_2\text{--C}_4$ alkenyl, $\text{C}_2\text{--C}_4$ alkynyl, $\text{C}_3\text{--C}_6$ cycloalkyl, $\text{COOC}_1\text{--C}_4$ alkyl, $\text{COOC}_3\text{--C}_4$ alkenyl or $\text{COOC}_3\text{--C}_4$ alkynyl, or

R_2 is hydrogen ; or

R_3 is $\text{C}_1\text{--C}_6$ alkyl, $\text{C}_1\text{--C}_6$ alkyl substituted by fluoro, chloro, bromo, $\text{C}_1\text{--C}_4$ alkoxy or $\text{C}_1\text{--C}_4$ haloalkoxy; or is $\text{C}_1\text{--C}_4$ alkoxy, $\text{C}_1\text{--C}_4$ haloalkoxy; $\text{C}_3\text{--C}_4$ alkenyloxy or $\text{C}_3\text{--C}_6$ alkynyloxy; or

R_3 is $\text{C}_1\text{--C}_4$ alkyl, $\text{C}_1\text{--C}_4$ alkoxy- $\text{C}_1\text{--C}_4$ alkyl or $\text{C}_1\text{--C}_4$ alkoxy; or

R_3 is $\text{C}_1\text{--C}_3$ alkyl, $\text{C}_1\text{--C}_3$ alkoxy-methyl or $\text{C}_1\text{--C}_3$ alkoxy; or

R_4 is methyl, CF_2Cl , CF_3 , CF_2H , CFH_2 , Cl or Br, or

R_5 is methyl, CF_3 , CH_2OCH_3 or CH_2OCF_3 , or

R_6 is hydrogen, fluoro, CF_3 or methyl, or

R_7 is hydrogen, methyl or halogen, or

Z is phenyl, halophenyl, $\text{C}_5\text{--C}_7$ cycloalkyl unsubstituted or substituted by $\text{C}_1\text{--C}_3$ alkyl,

$\text{C}_1\text{--C}_3$ haloalkyl or halogen, or a group of the form  wherein R_8 , R_9 and R_{10}

are independently of each other $\text{C}_1\text{--C}_3$ alkyl, or

Z is phenyl, halophenyl or $\text{C}_5\text{--C}_7$ cycloalkyl unsubstituted or substituted by $\text{C}_1\text{--C}_3$ alkyl,

$\text{C}_1\text{--C}_3$ haloalkyl or halogen, or

Z is a group of the form  wherein R_8 , R_9 and R_{10} are independently of each

other $\text{C}_1\text{--C}_3$ alkyl.

Within the group of compounds of formula I, those compounds are preferred wherein

A is A1, A2, A3, A4 or A5;

Q is Q1, Q2, Q3, Q4, Q5 or Q6;

R_1 is $\text{CH}_2\text{---}\equiv\text{---}R_2$, $\text{CH}_2\text{CH=CHR}_2$, CH=C=CHR_2 or COR_3 ;

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R_2 is hydrogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, $COOC_1$ - C_4 alkyl, $COOC_3$ - C_4 alkenyl or $COOC_3$ - C_4 alkynyl ;

R_3 is C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted by fluoro, chloro, bromo, C_1 - C_6 alkoxy or C_1 - C_6 haloalkoxy; or is C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy; C_3 - C_4 alkenyloxy or C_3 - C_6 haloalkynyloxy;

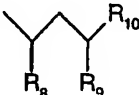
R_4 is methyl, CF_2Cl , CF_3 , CF_2H , CFH_2 , Cl or Br ;

R_5 is methyl, CF_3 , CH_2OCH_3 or CH_2OCF_3 ;

R_6 is hydrogen, fluoro, CF_3 or methyl;

R_7 is hydrogen, methyl or halogen; and

Z is phenyl, halophenyl, C_5 - C_7 cycloalkyl unsubstituted or substituted by C_1 - C_3 alkyl,

C_1 - C_3 haloalkyl or halogen, or a group of the form  wherein R_8 , R_9 and R_{10}

are independently of each other C_1 - C_3 alkyl (subgroup B1).

Within the subgroup B1 of compounds of formula I those compounds are preferred wherein

A is A1, A2, A3, A4 or A5;

Q is Q1;

R_1 is $CH_2 \equiv R_2$, $CH_2CH=CHR_2$, $CH=C=CHR_2$ or COR_3 ;

R_2 is hydrogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, $COOC_1$ - C_4 alkyl, $COOC_3$ - C_4 alkenyl or $COOC_3$ - C_4 alkynyl ;

R_3 is C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted by fluoro, chloro, bromo, C_1 - C_6 alkoxy or C_1 - C_6 haloalkoxy; or is C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy; C_3 - C_4 alkenyloxy or C_3 - C_6 haloalkynyloxy;

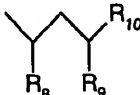
R_4 is methyl, CF_2Cl , CF_3 , CF_2H , CFH_2 , Cl or Br ;

R_5 is methyl, CF_3 , CH_2OCH_3 or CH_2OCF_3 ;

R_6 is hydrogen, fluoro, CF_3 or methyl;

R_7 is hydrogen, methyl or halogen; and

Z is phenyl, halophenyl, C_5 - C_7 cycloalkyl unsubstituted or substituted by C_1 - C_3 alkyl,

C_1 - C_3 haloalkyl or halogen, or a group of the form  wherein R_8 , R_9 and R_{10}

are independently of each other C_1 - C_3 alkyl (subgroup B2).

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Another group of compounds of formula I within the subgroup B1 are those wherein

A is A1 or A2;

Q is Q5 or Q6

R₁ is $\text{CH}_2\text{---}\equiv\text{---R}_2$, $\text{CH}_2\text{CH=CHR}_2$, CH=C=CHR_2 or COR_3 ;

R₂ is hydrogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₂-C₄alkynyl, C₃-C₆cycloalkyl, COOC₁-C₄alkyl, COOC₃-C₄alkenyl or COOC₃-C₄alkynyl;

R₃ is C₁-C₆alkyl, C₁-C₆alkyl substituted by fluoro, chloro, bromo, C₁-C₆alkoxy or C₁-C₆haloalkoxy; or is C₁-C₄alkoxy, C₁-C₄haloalkoxy; C₃-C₄alkenyloxy or C₃-C₆haloalkynyloxy;

R₄ is methyl, CF₂Cl, CF₃, CF₂H, CFH₂, Cl or Br;

R₅ is methyl, CF₃, CH₂OCH₃ or CH₂OCF₃;

R₆ is hydrogen, fluoro, CF₃ or methyl; and

R₇ is hydrogen, methyl or halogen (subgroup B3).

Within the subgroup B1 are those compounds of formula I preferred wherein

A is A1 or A2;

Q is Q2, Q3 or Q4;

R₁ is $\text{CH}_2\text{---}\equiv\text{---R}_2$, $\text{CH}_2\text{CH=CHR}_2$, CH=C=CHR_2 or COR_3 ;

R₂ is hydrogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₂-C₄alkynyl, C₃-C₆cycloalkyl, COOC₁-C₄alkyl, COOC₃-C₄alkenyl or COOC₃-C₄alkynyl;

R₃ is C₁-C₆alkyl, C₁-C₆alkyl substituted by fluoro, chloro, bromo, C₁-C₆alkoxy or C₁-C₆haloalkoxy; or is C₁-C₄alkoxy, C₁-C₄haloalkoxy; C₃-C₄alkenyloxy or C₃-C₆haloalkynyloxy;

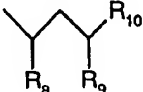
R₄ is methyl, CF₂Cl, CF₃, CF₂H, CFH₂, Cl or Br;

R₅ is methyl, CF₃, CH₂OCH₃ or CH₂OCF₃;

R₆ is hydrogen, fluoro, CF₃ or methyl;

R₇ is hydrogen, methyl or halogen; and

Z is phenyl, halophenyl, C₅-C₇cycloalkyl unsubstituted or substituted by C₁-C₃alkyl,

C₁-C₃haloalkyl or halogen, or a group of the form  wherein R₈, R₉ and R₁₀

are independently of each other C₁-C₃alkyl (subgroup B13).

From subgroup B1 are further preferred compounds of formula I wherein

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A is A1, A2 or A3;

Q is Q1 or Q6;

R₁ is $\text{CH}_2-\text{C}\equiv\text{C}-\text{R}_2$, $\text{CH}_2\text{CH}=\text{CHR}_2$, $\text{CH}=\text{C}=\text{CHR}_2$ or COR_3 ;

R₂ is hydrogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₂-C₄alkynyl, C₃-C₆cycloalkyl, COOC₁-C₄alkyl, COOC₃-C₄alkenyl or COOC₃-C₄alkynyl;

R₃ is C₁-C₆alkyl, C₁-C₆alkyl substituted by fluoro, chloro, bromo, C₁-C₆alkoxy or C₁-C₆haloalkoxy; or is C₁-C₄alkoxy, C₁-C₄haloalkoxy; C₃-C₄alkenyloxy or C₃-C₆haloalkynyloxy;

R₄ is methyl, CF₂Cl, CF₃, CF₂H, CFH₂, Cl or Br;

R₅ is methyl, CF₃, CH₂OCH₃ or CH₂OCF₃;

R₆ is hydrogen, fluoro, CF₃ or methyl;

R₇ is hydrogen, methyl or halogen; and

Z is phenyl, halophenyl or C₅-C₇cycloalkyl unsubstituted or substituted by C₁-C₃alkyl, C₁-C₃haloalkyl or halogen (subgroup B4).

In the above listed subgroups further preference is given to those wherein

R₂ is hydrogen; or

R₃ is C₁-C₄alkyl, C₁-C₄alkoxy-C₁-C₄alkyl or C₁-C₄alkoxy; or

R₃ is C₁-C₃alkyl, C₁-C₃alkoxy-methyl or C₁-C₃alkoxy; or a group wherein

R₂ is hydrogen; and R₃ is C₁-C₃alkyl, C₁-C₃alkoxy-methyl or C₁-C₃alkoxy.

Preferred individual compounds of the formula I are :

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'(4-fluorophenyl)phenyl]amide;

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-(1,1,3-trimethylindan-4-yl)amide,
1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-(1,1,3-trimethylindan-4-yl)amide,
1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-(1,1,3-trimethylindan-4-yl)amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-fluorophenyl)phenyl]amide,

1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-propargyl)-[2'-(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-propargyl)-[2'-(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-acetyl)-[2'-(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-carboxylic acid (2-methoxyacetyl)-[2'-(3-methylcyclohexyl)phenyl]amide,

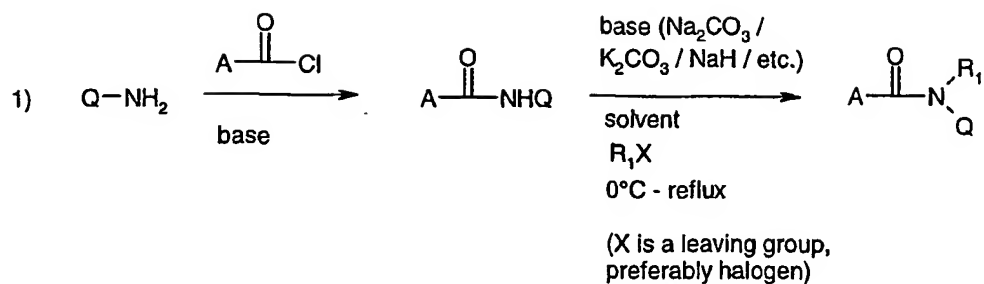
1-methyl-4-trifluoromethyl-1H-pyrrole-carboxylic acid (2-methoxyacetyl)-[2'-(3-ethylcyclohexyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-carboxylic acid (2-methoxyacetyl)-[2'-(3-trifluoromethylcyclohexyl)phenyl]amide.

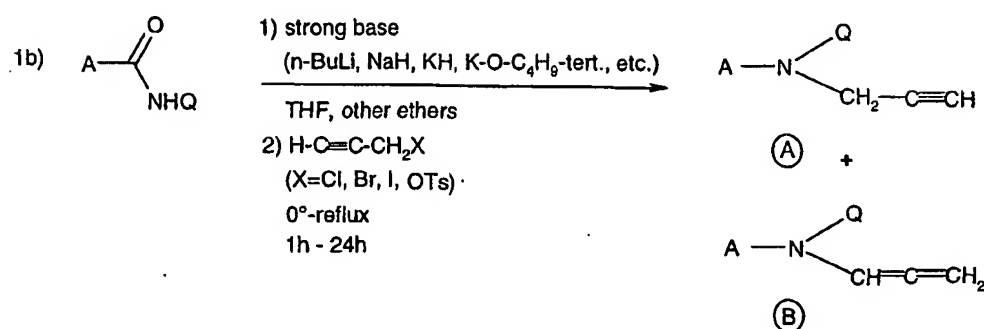
The compounds according to formula I may be prepared according to the following reaction scheme.

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Scheme 1

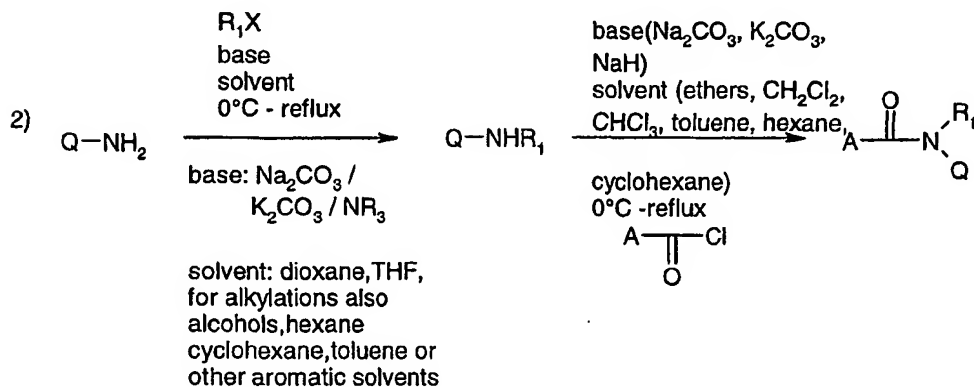


or



Ratio of product yields A/B depends on reactions conditions (longer reaction time leads to increase of yield of product B)

or



Surprisingly, it has now been found that the novel compounds of formula I have, for practical purposes, a very advantageous spectrum of activities for protecting plants against diseases that are caused by fungi as well as by bacteria and viruses.

The compounds of formula I can be used in the agricultural sector and related fields of use as active ingredients for controlling plant pests. The novel compounds are distinguished by excellent activity at low rates of application, by being well tolerated by plants and by being

environmentally safe. They have very useful curative, preventive and systemic properties and are used for protecting numerous cultivated plants. The compounds of formula I can be used to inhibit or destroy the pests that occur on plants or parts of plants (fruit, blossoms, leaves, stems, tubers, roots) of different crops of useful plants, while at the same time protecting also those parts of the plants that grow later e.g. from phytopathogenic micro-organisms.

It is also possible to use compounds of formula I as dressing agents for the treatment of plant propagation material, in particular of seeds (fruit, tubers, grains) and plant cuttings (e.g. rice), for the protection against fungal infections as well as against phytopathogenic fungi occurring in the soil.

The compounds I are, for example, effective against the phytopathogenic fungi of the following classes: Fungi imperfecti (e.g. Botrytis, Pyricularia, Helminthosporium, Fusarium, Septoria, Cercospora and Alternaria) and Basidiomycetes (e.g. Rhizoctonia, Hemileia, Puccinia). Additionally, they are also effective against the Ascomycetes classes (e.g. Venturia and Erysiphe, Podosphaera, Monilinia, Uncinula) and of the Oomycetes classes (e.g. Phytophthora, Pythium, Plasmopara). Outstanding activity has been observed against powdery mildew (Erysiphe spp.). Furthermore, the novel compounds of formula I are effective against phytopathogenic bacteria and viruses (e.g. against Xanthomonas spp, Pseudomonas spp, Erwinia amylovora as well as against the tobacco mosaic virus).

Within the scope of present invention, target crops to be protected typically comprise the following species of plants: cereal (wheat, barley, rye, oat, rice, maize, sorghum and related species); beet (sugar beet and fodder beet); pomes, drupes and soft fruit (apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries and blackberries); leguminous plants (beans, lentils, peas, soybeans); oil plants (rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans, groundnuts); cucumber plants (pumpkins, cucumbers, melons); fibre plants (cotton, flax, hemp, jute); citrus fruit (oranges, lemons, grapefruit, mandarins); vegetables (spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, paprika); lauraceae (avocado, cinnamomum, camphor) or plants such as tobacco, nuts, coffee, eggplants, sugar cane, tea, pepper, vines, hops, bananas and natural rubber plants, as well as ornamentals.

The compounds of formula I are used in unmodified form or, preferably, together with the adjuvants conventionally employed in the art of formulation. To this end they are conveniently formulated in known manner to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granulates, and also encapsulations e.g. in polymeric substances. As with the type of the compositions, the methods of application, such as spraying, atomizing, dusting, scattering, coating or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances. The compositions may also contain further adjuvants such as stabilizers, antifoams, viscosity regulators, binders or tackifiers as well as fertilizers, micronutrient donors or other formulations for obtaining special effects.

Suitable carriers and adjuvants can be solid or liquid and are substances useful in formulation technology, e.g. natural or regenerated mineral substances, solvents, dispersants, wetting agents, tackifiers, thickeners, binders or fertilizers. Such carriers are for example described in WO 97/33890.

The compounds of formula I are normally used in the form of compositions and can be applied to the crop area or plant to be treated, simultaneously or in succession with further compounds. These further compounds can be e.g. fertilizers or micronutrient donors or other preparations which influence the growth of plants. They can also be selective herbicides as well as insecticides, fungicides, bactericides, nematocides, molluscicides or mixtures of several of these preparations, if desired together with further carriers, surfactants or application promoting adjuvants customarily employed in the art of formulation.

The compounds of formula I can be mixed with other fungicides, resulting in some cases in unexpected synergistic activities. Such mixtures are not limited to two active ingredients (one of formula I and one of the list of other fungicides), but to the contrary many comprise more than one active ingredient of the component of formula I and more than one other fungicide. Mixing components which are particularly suited for this purpose include e.g. azoles, such as azaconazole, BAY 14120, bitertanol, bromuconazole, cyproconazole, difenoconazole, diniconazole, epoxiconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imazalil, imibenconazole, ipconazole, metconazole, myclobutanil, pefurazoate, penconazole, pyrifenoxy, prochloraz, propiconazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triflumizole, triticonazole; pyrimidinyl carbi-

noles, such as ancymidol, fenarimol, nuarimol; 2-amino-pyrimidines, such as bupirimate, dimethirimol, ethirimol; morpholines, such as dodemorph, fenpropidine, fenpropimorph, spiroxamine, tridemorph; anilinopyrimidines, such as cyprodinil, mepanipyrim, pyrimethanil; pyrroles, such as fenpiclonil, fludioxonil; phenylamides, such as benalaxyl, furalaxyl, metalaxyl, R-metalaxyl, ofurace, oxadixyl; benzimidazoles, such as benomyl, carbendazim, debacarb, fuberidazole, thiabendazole; dicarboximides, such as chlozoline, dichlozoline, iprodione, myclozoline, procymidone, vinclozoline; carboxamides, such as carboxin, fenfuram, flutolanil, mepronil, oxycarboxin, thifluzamide; guanidines, such as guazatine, dodine, iminoctadine; strobilurines, such as azoxystrobin, kresoxim-methyl, metominostrobin, SSF-129, trifloxystrobin, picoxystrobin, BAS 500F (proposed name pyraclostrobin), BAS 520; dithiocarbamates, such as ferbam, mancozeb, maneb, metiram, propineb, thiram, zineb, ziram; N-halomethylthiotetrahydrophthalimides, such as captafol, captan, dichlofluanid, fluoromides, folpet, tolyfluanid; Cu-compounds, such as Bordeaux mixture, copper hydroxide, copper oxychloride, copper sulfate, cuprous oxide, mancopper, oxine-copper; nitrophenol-derivatives, such as dinocap, nitrothal-isopropyl; organo-p-derivatives, such as edifenphos, iprobenphos, isoprothiolane, phosdiphen, pyrazophos, tolclofos-methyl; various others, such as acibenzolar-S-methyl, anilazine, benthiavalicarb, blasticidin-S, chinomethionate, chloroneb, chlorothalonil, cyflufenamid, cymoxanil, dichlone, diclomezine, dicloran, diethofencarb, dimethomorph, SYP-LI90 (proposed name: flumorph), dithianon, ethaboxam, etridiazole, famoxadone, fenamidone, fenoxanil, fentin, ferimzone, fluazinam, flusulfamide, fenhexamid, fosetyl-aluminium, hymexazol, iprovalicarb, IKF-916 (cyazofamid), kasugamycin, methasulfocarb, metrafenone, nicobifen, pencycuron, phthalide, polyoxins, probenazole, propamocarb, pyroquilon, quinoxifen, quintozene, sulfur, triazoxide, tricyclazole, triforine, validamycin, zoxamide (RH7281).

A preferred method of applying a compound of formula I, or an agrochemical composition which contains at least one of said compounds, is foliar application. The frequency of application and the rate of application will depend on the risk of infestation by the corresponding pathogen. However, the compounds of formula I can also penetrate the plant through the roots via the soil (systemic action) by drenching the locus of the plant with a liquid formulation, or by applying the compounds in solid form to the soil, e.g. in granular form (soil application). In crops of water rice such granulates can be applied to the flooded rice field. The compounds of formula I may also be applied to seeds (coating) by impregna-

ting the seeds or tubers either with a liquid formulation of the fungicide or coating them with a solid formulation.

The formulation, i.e. the compositions containing the compound of formula I and, if desired, a solid or liquid adjuvant, are prepared in known manner, typically by intimately mixing and/or grinding the compound with extenders, e.g. solvents, solid carriers and, optionally, surface active compounds (surfactants).

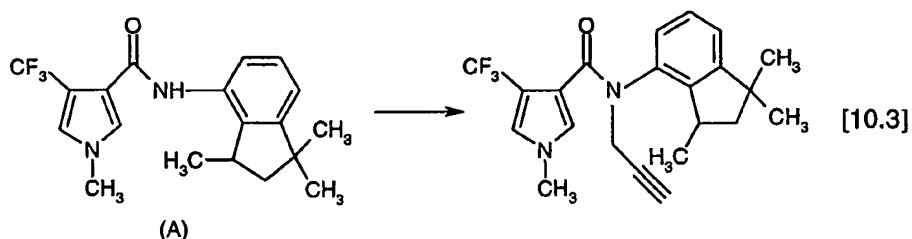
The agrochemical formulations will usually contain from 0.1 to 99 % by weight, preferably from 0.1 to 95 % by weight, of the compound of formula I, 99.9 to 1 % by weight, preferably 99.8 to 5 % by weight, of a solid or liquid adjuvant, and from 0 to 25 % by weight, preferably from 0.1 to 25 % by weight, of a surfactant.

Advantageous rates of application are normally from 5 g to 2 kg of active ingredient (a.i.) per hectare (ha), preferably from 10 g to 1 kg a.i./ha, most preferably from 20 g to 600 g a.i./ha. When used as seed drenching agent, convenient dosages are from 10 mg to 1 g of active substance per kg of seeds.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

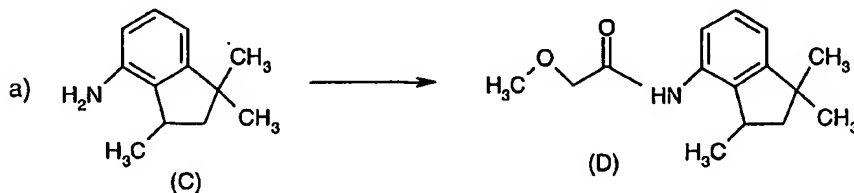
The following non-limiting examples illustrate the above-described invention in more detail. Temperatures are given in degrees Celsius. The following abbreviations are used: m.p.= melting point; b.p.= boiling point. "NMR" means nuclear magnetic resonance spectrum. MS stands for mass spectrum. "%" is percent by weight, unless corresponding concentrations are indicated in other units.

Example 1: 1-Methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid prop-2-ynyl-(1,1,3-trimethylindan-4-yl)amide



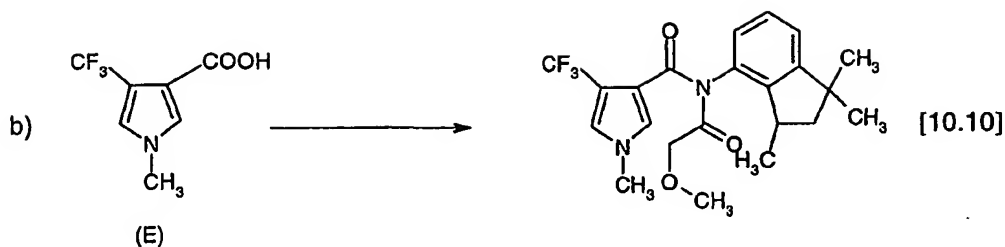
To a solution of 0.49 g (1.4 mmol) of compound (A) in 10 ml tetrahydrofuran is added 67 mg (1.5 mmol) 55%-sodium hydride and the reaction mixture is stirred for 2 hours. Then 0.12 ml (1.6 mmol) propargyl bromide is added and stirring is continued for 1 hour. After addition of ethylacetate, the organic phase is washed once with water and once with sodium chloride solution, dried over sodium sulfate and the solvent is removed. The obtained 1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid prop-2-ynyl-(1,1,3-trimethylindan-4-yl)amide (compound 10.3) is recrystallised from dichloromethane/hexane; m.p. 142-144°C.

Example 2: 1-Methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-(1,1,3-trimethylindan-4-yl)amide



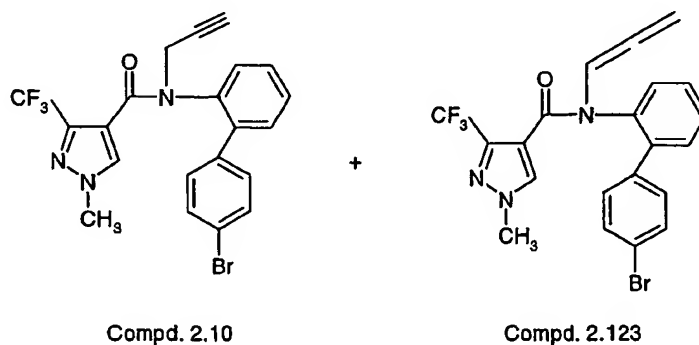
To 1.0 g (5.7 mmol) 4-amino-1,1,3-trimethylindane (C) and 0.63 g (6.3 mmol) triethylamine in 30 ml tetrahydrofuran is added dropwise a solution of 0.65 g (5.9 mmol) methoxyacetyl chloride in 5 ml tetrahydrofuran and the reaction mixture is stirred for 30 minutes. After addition of ethylacetate, the organic phase is washed once with water and once with sodium chloride solution, dried over sodium sulfate and the solvent is removed. It remains 2-methoxy-N-(1,1,3-trimethylindan-4-yl)acetamide (D) as an oil.

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A solution of 0.95 g (4.9 mmol) of compound (E) and 0.68 g (5.4 mmol) oxalylchloride in 25 ml dichloromethane is stirred for 3 hours in the presence of a catalytic amount of dimethylformamide. After removal of the solvent, the acid chloride is slowly added to the solution of 1.23 g (4.9 mmol) of compound (D) and 0.22 g (4.9 mmol) 55%-sodium hydride in 25 ml tetrahydrofuran, which has been stirred for 3 hours. The reaction mixture is stirred for 16 hours. After addition of ethylacetate, the organic phase is washed once with water and once with sodium chloride solution, dried over sodium sulfate and the solvent is removed. Purification by column chromatography over silica gel (eluent hexane:tert.-butylmethylether = 7:3) give 1-methyl-4-(trifluoromethyl)-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-(1,1,3-trimethylindan-4-yl)amide (compound 10.10) as a yellow oil.

Example 3: 1-Methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxylic acid (4'-bromobiphenyl-2-yl)prop-2-ynyl-amide (Compd. 2.10) and 1-Methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxylic acid (4'-bromobiphenyl-2-yl)propa-1,2-dienyl-amide (Compd. 2.123).

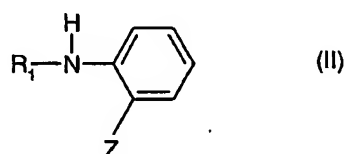


To a mixture of 137 mg 3.15 mmol sodiumhydride (~ 60%) and 15 ml of absolute THF a solution of 1.27 g (3.0 mmol) of 1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxylic acid (4'-bromobiphenyl-2-yl)amide is added slowly and stirred for 20 minutes at +45°C. Then the solution is cooled to +20°C and 410 mg (3.45 mmol) of 3-bromo-1-propyne in 10 ml of THF added slowly. The resulting mixture is stirred for 20 hours and then 100 ml of ice water is

added. The ethylacetate is added and the water phase extracted three times with ethylacetate. After drying of the combined organic phase and evaporation of the solvent in a water jet vacuum the crude product is obtained. The separation of compounds. 2.10 and 2.123 is accomplished via column chromatography over silicagel (eluent: hexane/ CH_2Cl_2 /isopropylether 1:1:1). Compound. 2.123 is obtained in the form of a white powder: m.p. 146-149°C and compound. 2.10 is obtained in the form of a white powder: m.p. 171-172°C.

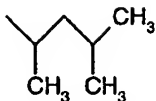
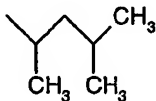
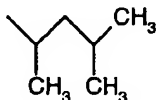
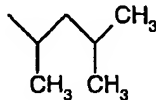
In analogous manner the compounds of the following tables are obtained.

Table 1 Intermediates



Cmpd.no.	R ₁	Z	phys.data m.p. °C
1.01	-CH ₂ CH=CH ₂	4-F-phenyl	
1.02	-CH ₂ CH=CH ₂	4-Cl-phenyl	
1.03	-CH ₂ CH=CH ₂	4-Br-phenyl	
1.04	-CH ₂ CH=CH ₂	3-Me-cyclopentyl	
1.05	-CH ₂ CH=CH ₂	4-Me-cyclohexyl	
1.06	-CH ₂ CH=CH ₂	3-Me-cyclohexyl	
1.07	-CH ₂ CH=CH ₂	cycloheptyl	
1.08	-CH ₂ CH=CH ₂		
1.09	-CH ₂ C≡CH	4-F-phenyl	
1.10	-CH ₂ C≡CH	4-Cl-phenyl	
1.11	-CH ₂ C≡CH	4-Br-phenyl	
1.12	-CH ₂ C≡CH	3-Me-cyclopentyl	
1.13	-CH ₂ C≡CH	4-Me-cyclohexyl	
1.14	-CH ₂ C≡CH	3-Me-cyclohexyl	
1.15	-CH ₂ C≡CH	cycloheptyl	

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1.16	-CH ₂ C≡CH		
1.17	-COCH ₃	4-F-phenyl	
1.18	-COCH ₃	4-Cl-phenyl	
1.19	-COCH ₃	4-Br-phenyl	
1.20	-COCH ₃	3-Me-cyclopentyl	
1.21	-COCH ₃	4-Me-cyclohexyl	
1.22	-COCH ₃	3-Me-cyclohexyl	
1.23	-COCH ₃	cycloheptyl	
1.24	-COCH ₃		84-86
1.25	-COCH ₂ CH ₃	4-F-phenyl	
1.26	-COCH ₂ CH ₃	4-Cl-phenyl	
1.27	-COCH ₂ CH ₃	4-br-phenyl	
1.28	-COCH ₂ CH ₃	3-Me-cyclopentyl	
1.29	-COCH ₂ CH ₃	4-Me-cyclohexyl	
1.30	-COCH ₂ CH ₃	3-Me-cyclohexyl	
1.31	-COCH ₂ CH ₃	cycloheptyl	
1.32	-COCH ₂ CH ₃		
1.33	-COCH ₂ CH ₂ CH ₃	4-F-phenyl	
1.34	-COCH ₂ CH ₂ CH ₃	4-Cl-phenyl	
1.35	-COCH ₂ CH ₂ CH ₃	4-Br-phenyl	
1.36	-COCH ₂ CH ₂ CH ₃	cycloheptyl	
1.37	-COCH ₂ CH ₂ CH ₃		
1.38	-COcyclopropyl	4-F-phenyl	
1.39	-COcyclopropyl	4-Cl-phenyl	

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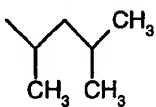
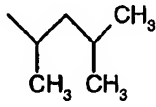
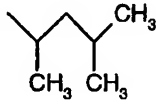
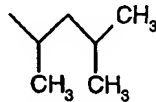
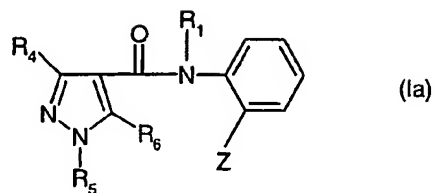
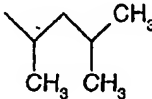
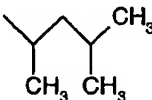
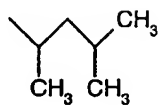
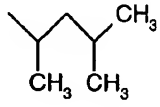
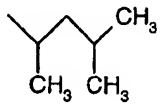
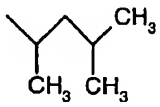
1.40	-COcyclopropyl	4-Br-phenyl	
1.41	-COcyclopropyl	cycloheptyl	
1.42	-COcyclopropyl		
1.43	-COCH ₂ OCH ₃	4-F-phenyl	
1.44	-COCH ₂ OCH ₃	4-Cl-phenyl	
1.45	-COCH ₂ OCH ₃	4-Br-phenyl	
1.46	-COCH ₂ OCH ₃	3-Me-cyclopentyl	
1.47	-COCH ₂ OCH ₃	4-Me-cyclohexyl	
1.48	-COCH ₂ OCH ₃	3-Me-cyclohexyl	
1.49	-COCH ₂ OCH ₃	cycloheptyl	
1.50	-COCH ₂ OCH ₃		resin; M [*] = 249
1.51	-COCH ₂ OCH ₂ CH ₃	4-F-phenyl	
1.52	-COCH ₂ OCH ₂ CH ₃	4-Cl-phenyl	
1.53	-COCH ₂ OCH ₂ CH ₃	4-Br-phenyl	
1.54	-COCH ₂ OCH ₂ CH ₃	cycloheptyl	
1.55	-COCH ₂ OCH ₂ CH ₃		
1.56	-COOCH ₃	4-F-phenyl	
1.57	-COOCH ₃	4-Cl-phenyl	
1.58	-COOCH ₃	4-Br-phenyl	
1.59	-COOCH ₃	3-Me-cyclopentyl	
1.60	-COOCH ₃	4-Me-cyclohexyl	
1.61	-COOCH ₃	3-Me-cyclohexyl	
1.62	-COOCH ₃	cycloheptyl	
1.63	-COOCH ₃		

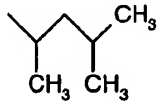
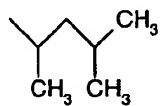
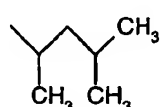
Table 2 Pyrazolecarboxamides

Cmpd. no.	R ₁	R ₄	R ₅	R ₆	Z	phys.data m.p. °C
2.001	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	4-Cl-phenyl	93-95
2.002	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.003	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
2.004	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
2.005	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
2.006	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	cycloheptyl	
2.007	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H		73-75
2.008	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-F-phenyl	
2.009	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-Cl-phenyl	169-170
						M ⁺ =415
2.010	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-Br-phenyl	171-172
2.011	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
2.012	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
2.013	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
2.014	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	cycloheptyl	
2.015	-CH ₂ C≡CH	-CF ₃	-CH ₃	H		100-102
2.016	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H	4-F-phenyl	127-128
2.017	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H	4-Cl-phenyl	151-152
2.018	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H	3-Me-cyclopentyl	
2.019	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H	4-Me-cyclohexyl	
2.020	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H	3-Me-cyclohexyl	

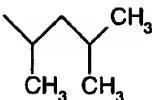
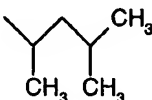
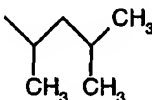
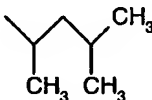
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2.021	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H	cycloheptyl	
2.022	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H		
2.023	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	4-F-phenyl	
2.024	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	4-Cl-phenyl	
2.025	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclopentyl	
2.026	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclohexyl	
2.027	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	cycloheptyl	
2.028	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H		
2.029	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	4-F-phenyl	
2.030	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	4-Cl-phenyl	
2.031	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	3-Me-cyclopentyl	
2.032	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	3-Me-cyclohexyl	
2.033	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	cycloheptyl	
2.034	-CH ₂ C≡CH	-CF ₃	-CH ₃	F		
2.035	-COCH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	
2.036	-COCH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
2.037	-COCH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.038	-COCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
2.039	-COCH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
2.040	-COCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
2.041	-COCH ₃	-CF ₃	-CH ₃	H	cycloheptyl	
2.042	-COCH ₃	-CF ₃	-CH ₃	H		95-97
2.043	-COCH ₃	-CF ₂ H	-CH ₃	H	4-F-phenyl	
2.044	-COCH ₃	-CF ₂ H	-CH ₃	H	4-Cl-phenyl	

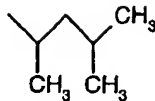
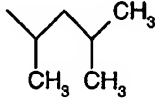
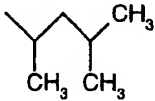
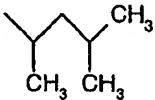
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2.045	-COCH ₃	-CF ₂ H	-CH ₃	H	3-Me-cyclopentyl	
2.046	-COCH ₃	-CF ₂ H	-CH ₃	H	3-Me-cyclohexyl	
2.047	-COCH ₃	-CF ₂ H	-CH ₃	H	cycloheptyl	
2.048	-COCH ₃	-CF ₂ H	-CH ₃	H		
2.049	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	4-F-phenyl	
2.050	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	4-Cl-phenyl	
2.051	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclopentyl	
2.052	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclohexyl	
2.053	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	cycloheptyl	
2.054	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H		
2.055	-COCH ₃	-CF ₃	-CH ₃	F	4-F-phenyl	
2.056	-COCH ₃	-CF ₃	-CH ₃	F	4-Cl-phenyl	resin; M ⁺ = 421 (³⁵ Cl)
2.057	-COCH ₃	-CF ₃	-CH ₃	F	4-Br-phenyl	
2.058	-COCH ₃	-CF ₃	-CH ₃	F	3-Me-cyclohexyl	
2.059	-COCH ₃	-CF ₃	-CH ₃	F	cycloheptyl	
2.060	-COCH ₃	-CF ₃	-CH ₃	F		
2.061	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	
2.062	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	resin; M ⁺ = 435 (³⁵ Cl)
2.063	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.064	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
2.065	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
2.066	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
2.067	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	cycloheptyl	

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2.068	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H		92-94
2.069	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	resin; M ⁺ =
2.070	-COCH ₂ CH ₃	-CF ₂ H	-CH ₃	H	4-Cl-phenyl	
2.071	-COCH ₂ CH ₃	-CF ₂ H	-CH ₃	H	4-Br-phenyl	
2.072	-COCH ₂ CH ₃	-CF ₂ H	-CH ₃	H	cycloheptyl	
2.073	-COCH ₂ CH ₃	-CF ₂ H	-CH ₃	H		
2.074	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	resin; M ⁺ =
2.075	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
2.076	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.077	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	cycloheptyl	
2.078	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H		
2.079	-COcyclopropyl	-CF ₃	-CH ₃	H	4-F-phenyl	resin; M ⁺ =
2.080	-COcyclopropyl	-CF ₃	-CH ₃	H	4-Cl-phenyl	
2.081	-COcyclopropyl	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.082	-COcyclopropyl	-CF ₃	-CH ₃	H	cycloheptyl	
2.083	-COcyclopropyl	-CF ₃	-CH ₃	H		
2.084	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	55-57
2.085	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
2.086	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.087	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
2.088	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
2.089	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
2.090	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	cycloheptyl	

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2.091	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H		resin; M ⁺ = 425
2.092	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H	4-F-phenyl	
2.093	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H	4-F-phenyl	
2.094	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H	4-Cl-phenyl	
2.095	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H	4-Br-phenyl	
2.096	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H	cycloheptyl	
2.097	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H		
2.098	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	F	4-Cl-phenyl	
2.099	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	
2.100	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
2.101	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.102	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
2.103	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	cycloheptyl	
2.104	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H		
2.105	-COOCH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	
2.106	-COOCH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
2.107	-COOCH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
2.108	-COOCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
2.109	-COOCH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
2.110	-COOCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
2.111	-COOCH ₃	-CF ₃	-CH ₃	H	cycloheptyl	
2.112	-COOCH ₃	-CF ₃	-CH ₃	H		
2.113	-COOCH ₃	-CF ₂ H	-CH ₃	H	4-F-phenyl	
2.114	-COOCH ₃	-CF ₂ H	-CH ₃	H	4-Cl-phenyl	

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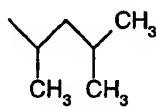
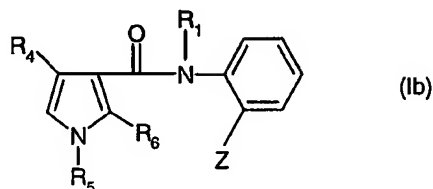
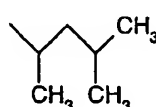
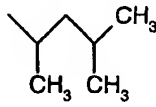
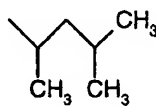
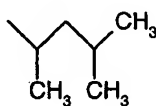
2.115	-COOCH ₃	-CF ₂ H	-CH ₃	H	4-Br-phenyl	
2.116	-COOCH ₃	-CF ₂ H	-CH ₃	H	cycloheptyl	
2.117	-COOCH ₃	-CF ₂ H	-CH ₃	H		
2.118	-COOCH ₃	-CF ₃	-CH ₃	F	4-F-phenyl	
2.119	-COOCH ₃	-CF ₃	-CH ₃	F	4-Cl-phenyl	
2.120	-COOCH ₃	-CF ₃	-CH ₃	F	cycloheptyl	
2.121	-CH=C=CH ₂	-CF ₃	-CH ₃	H	4-F-phenyl	
2.122	-CH=C=CH ₂	-CF ₃	-CH ₃	H	4-Cl-phenyl	144-146
2.123	-CH=C=CH ₂	-CF ₃	-CH ₃	H	4-Br-phenyl	146-149
2.124	-CH=C=CH ₂	-CF ₂ H	-CH ₃	H	4-F-phenyl	148-149
2.125	-CH=C=CH ₂	-CF ₂ H	-CH ₃	H	4-Cl-phenyl	157-159
2.126	-CH=C=CH ₂	-CF ₂ H	-CH ₃	H	4-Br-phenyl	163-164

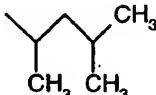
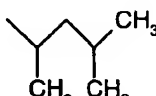
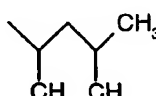
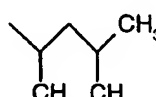
Table 3 Pyrrolecarboxamides

Cmpd. no.	R ₁	R ₄	R ₅	R ₆	Z	phys.data m.p. °C
3.01	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	4-F-phenyl	resin; M ⁺ =402
3.02	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	4-Br-phenyl	
3.03	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
3.04	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
3.05	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
3.06	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	cycloheptyl	
3.07	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H		

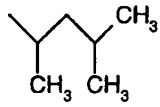
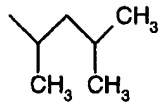
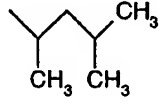
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3.08	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-F-phenyl	109-112
3.09	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.10	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-Br-phenyl	130-131
3.11	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
3.12	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
3.13	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
3.14	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	cycloheptyl	
3.15	-CH ₂ C≡CH	-CF ₃	-CH ₃	H		
3.16	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	4-F-phenyl	
3.17	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	4-Cl-phenyl	
3.18	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclopentyl	
3.19	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclohexyl	
3.20	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	cycloheptyl	
3.21	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H		
3.22	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	4-F-phenyl	
3.23	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	4-Cl-phenyl	
3.24	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	3-Me-cyclopentyl	
3.25	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	3-Me-cyclohexyl	
3.26	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	cycloheptyl	
3.27	-CH ₂ C≡CH	-CF ₃	-CH ₃	F		
3.28	-COCH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	resin; M ⁺ =404
3.29	-COCH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.30	-COCH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
3.31	-COCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
3.32	-COCH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
3.33	-COCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	

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3.34	-COCH ₃	-CF ₃	-CH ₃	H	cycloheptyl
3.35	-COCH ₃	-CF ₃	-CH ₃	H	
3.36	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	4-F-phenyl
3.37	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	4-Cl-phenyl
3.38	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclopentyl
3.39	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	3-Me-cyclohexyl
3.40	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	cycloheptyl
3.41	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	
3.42	-COCH ₃	-CF ₃	-CH ₃	F	4-F-phenyl
3.43	-COCH ₃	-CF ₃	-CH ₃	F	4-Cl-phenyl
3.44	-COCH ₃	-CF ₃	-CH ₃	F	4-Br-phenyl
3.45	-COCH ₃	-CF ₃	-CH ₃	F	3-Me-cyclohexyl
3.46	-COCH ₃	-CF ₃	-CH ₃	F	cycloheptyl
3.47	-COCH ₃	-CF ₃	-CH ₃	F	
3.48	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl
3.49	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl
3.50	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl
3.51	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl
3.52	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl
3.53	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl
3.54	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	cycloheptyl
3.55	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	
3.56	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl
3.57	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl

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3.58	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.59	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
3.60	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H	cycloheptyl	
3.61	-COCH ₂ CH ₂ CH ₃	-CF ₃	-CH ₃	H		resin; M ⁺ = 422
3.62	-COcyclopropyl	-CF ₃	-CH ₃	H	4-F-phenyl	
3.63	-COcyclopropyl	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.64	-COcyclopropyl	-CF ₃	-CH ₃	H	4-Br-phenyl	
3.65	-COcyclopropyl	-CF ₃	-CH ₃	H	cycloheptyl	
3.66	-COcyclopropyl	-CF ₃	-CH ₃	H		
3.67	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	resin; M ⁺ = 434
3.68	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.69	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
3.70	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
3.71	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
3.72	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
3.73	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	cycloheptyl	
3.74	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H		resin; M ⁺ = 424
3.75	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	F	4-Cl-phenyl	
3.76	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	
3.77	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.78	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
3.79	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
3.80	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	cycloheptyl	

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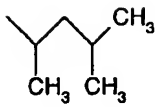
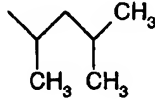
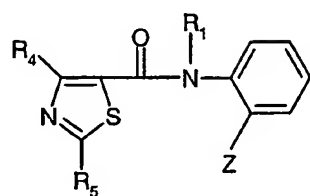
3.81	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H		
3.82	-COOCH ₃	-CF ₃	-CH ₃	H	4-F-phenyl	
3.83	-COOCH ₃	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.84	-COOCH ₃	-CF ₃	-CH ₃	H	4-Br-phenyl	
3.85	-COOCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclopentyl	
3.86	-COOCH ₃	-CF ₃	-CH ₃	H	4-Me-cyclohexyl	
3.87	-COOCH ₃	-CF ₃	-CH ₃	H	3-Me-cyclohexyl	
3.88	-COOCH ₃	-CF ₃	-CH ₃	H	cycloheptyl	
3.89	-COOCH ₃	-CF ₃	-CH ₃	H		
3.90	-COOCH ₃	-CF ₃	-CH ₃	F	4-F-phenyl	
3.91	-COOCH ₃	-CF ₃	-CH ₃	F	4-Cl-phenyl	
3.92	-COOCH ₃	-CF ₃	-CH ₃	F	cycloheptyl	
3.93	-CH=C=CH ₂	-CF ₃	-CH ₃	H	4-F-phenyl	
3.94	-CH=C=CH ₂	-CF ₃	-CH ₃	H	4-Cl-phenyl	
3.95	-CH=C=CH ₂	-CF ₃	-CH ₃	H	4-Bromophenyl	162-163

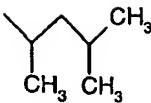
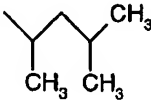
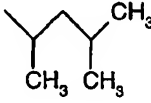
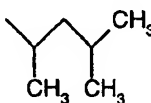
Table 4 Thiazolecarboxamides



(Ic)

Cmpd. no.	R ₁	R ₄	R ₅	Z	phys.data m.p. °C
4.01	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	4-Cl-phenyl	
4.02	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	4-Br-phenyl	
4.03	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	4-Me-cyclohexyl	
4.04	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	3-Me-cyclohexyl	
4.05	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	cycloheptyl	

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4.06	$-\text{CH}_2\text{CH}=\text{CH}_2$	$-\text{CF}_3$	$-\text{CH}_3$	
4.07	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	4-F-phenyl
4.08	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	4-Cl-phenyl
4.09	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	4-Br-phenyl
4.10	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	3-Me-cyclopentyl
4.11	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	4-Me-cyclohexyl
4.12	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	3-Me-cyclohexyl
4.13	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	cycloheptyl
4.14	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_3$	
4.15	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_2\text{OCH}_3$	4-F-phenyl
4.16	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_2\text{OCH}_3$	4-Cl-phenyl
4.17	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_2\text{OCH}_3$	cycloheptyl
4.18	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	$-\text{CH}_2\text{OCH}_3$	
4.19	$-\text{COCH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	4-Cl-phenyl
4.20	$-\text{COCH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	4-Br-phenyl
4.21	$-\text{COCH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	3-Me-cyclopentyl
4.22	$-\text{COCH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	4-Me-cyclohexyl
4.23	$-\text{COCH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	3-Me-cyclohexyl
4.24	$-\text{COCH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	cycloheptyl
4.25	$-\text{COCH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	
4.26	$-\text{COCH}_2\text{CH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	4-F-phenyl
4.27	$-\text{COCH}_2\text{CH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	4-Cl-phenyl
4.28	$-\text{COCH}_2\text{CH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	4-Br-phenyl
4.29	$-\text{COCH}_2\text{CH}_3$	$-\text{CF}_3$	$-\text{CH}_3$	cycloheptyl

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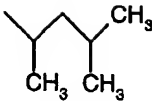
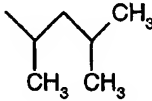
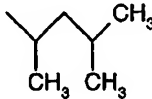
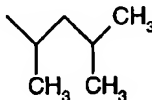
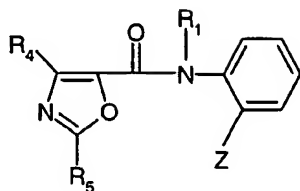
4.30	-COCH ₂ CH ₃	-CF ₃	-CH ₃		
4.31	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	4-F-phenyl	
4.32	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	4-Cl-phenyl	
4.33	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	3-Me-cyclopentyl	
4.34	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	4-Me-cyclohexyl	
4.35	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	3-Me-cyclohexyl	
4.36	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	cycloheptyl	
4.37	-COCH ₂ OCH ₃	-CF ₃	-CH ₃		
4.38	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	4-F-phenyl	
4.39	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	4-Cl-phenyl	
4.40	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	cycloheptyl	
4.41	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃		
4.42	-COOCH ₃	-CF ₃	-CH ₃	4-F-phenyl	resin
4.43	-COOCH ₃	-CF ₃	-CH ₃	4-Cl-phenyl	
4.44	-COOCH ₃	-CF ₃	-CH ₃	4-Br-phenyl	
4.45	-COOCH ₃	-CF ₃	-CH ₃	cycloheptyl	
4.46	-COOCH ₃	-CF ₃	-CH ₃		

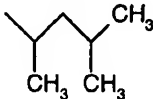
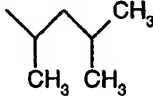
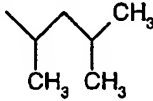
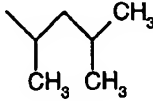
Table 5 Oxazolecarboxamides



(Id)

Cmpd. no.	R ₁	R ₄	R ₅	Z	phys.data m.p. °C
5.01	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	4-Cl-phenyl	
5.02	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	4-Br-phenyl	
5.03	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	4-Me-cyclohexyl	
5.04	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	3-Me-cyclohexyl	
5.05	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	cycloheptyl	
5.06	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃		
5.07	-CH ₂ C≡CH	-CF ₃	-CH ₃	4-F-phenyl	
5.08	-CH ₂ C≡CH	-CF ₃	-CH ₃	4-Cl-phenyl	
5.09	-CH ₂ C≡CH	-CF ₃	-CH ₃	4-Br-phenyl	
5.10	-CH ₂ C≡CH	-CF ₃	-CH ₃	3-Me-cyclopentyl	
5.11	-CH ₂ C≡CH	-CF ₃	-CH ₃	4-Me-cyclohexyl	
5.12	-CH ₂ C≡CH	-CF ₃	-CH ₃	3-Me-cyclohexyl	
5.13	-CH ₂ C≡CH	-CF ₃	-CH ₃	cycloheptyl	
5.14	-CH ₂ C≡CH	-CF ₃	-CH ₃		
5.15	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	4-F-phenyl	
5.16	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	4-Cl-phenyl	
5.17	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	cycloheptyl	
5.18	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃		
5.19	-COCH ₃	-CF ₃	-CH ₃	4-Cl-phenyl	

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5.20	-COCH ₃	-CF ₃	-CH ₃	4-Br-phenyl
5.21	-COCH ₃	-CF ₃	-CH ₃	3-Me-cyclopentyl
5.22	-COCH ₃	-CF ₃	-CH ₃	4-Me-cyclohexyl
5.23	-COCH ₃	-CF ₃	-CH ₃	3-Me-cyclohexyl
5.24	-COCH ₃	-CF ₃	-CH ₃	cycloheptyl
5.25	-COCH ₃	-CF ₃	-CH ₃	
5.26	-COCH ₂ CH ₃	-CF ₃	-CH ₃	4-F-phenyl
5.27	-COCH ₂ CH ₃	-CF ₃	-CH ₃	4-Cl-phenyl
5.28	-COCH ₂ CH ₃	-CF ₃	-CH ₃	4-Br-phenyl
5.29	-COCH ₂ CH ₃	-CF ₃	-CH ₃	cycloheptyl
5.30	-COCH ₂ CH ₃	-CF ₃	-CH ₃	
5.31	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	4-F-phenyl
5.32	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	4-Cl-phenyl
5.33	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	3-Me-cyclopentyl
5.34	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	4-Me-cyclohexyl
5.35	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	3-Me-cyclohexyl
5.36	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	cycloheptyl
5.37	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	
5.38	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	4-F-phenyl
5.39	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	4-Cl-phenyl
5.40	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	cycloheptyl
5.41	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	
5.42	-COOCH ₃	-CF ₃	-CH ₃	4-F-phenyl
5.43	-COOCH ₃	-CF ₃	-CH ₃	4-Cl-phenyl

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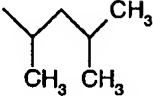
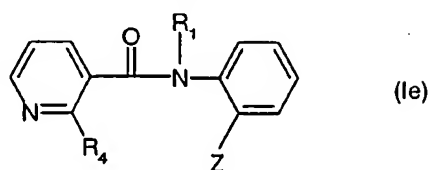
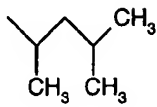
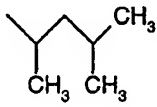
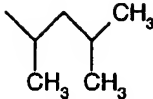
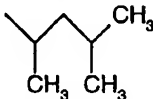
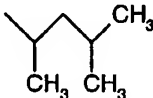
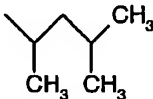
5.44	-COOCH ₃	-CF ₃	-CH ₃	4-Br-phenyl
5.45	-COOCH ₃	-CF ₃	-CH ₃	cycloheptyl
5.46	-COOCH ₃	-CF ₃	-CH ₃	

Table 6 Pyridine carboxylic acid amides

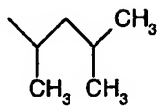
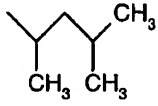
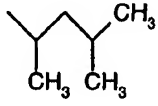
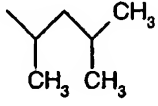
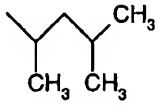


Cmpd. no.	R ₁	R ₄	Z	phys.data m.p. °C
6.01	-CH ₂ CH=CH ₂	-Cl	4-F-phenyl	
6.02	-CH ₂ CH=CH ₂	-Cl	4-Cl-phenyl	
6.03	-CH ₂ CH=CH ₂	-Cl	4-Br-phenyl	
6.04	-CH ₂ CH=CH ₂	-Cl	cycloheptyl	
6.05	-CH ₂ CH=CH ₂	-Cl		
6.06	-CH ₂ C≡CH	-CF ₃	4-F-phenyl	
6.07	-CH ₂ C≡CH	-CF ₃	4-Cl-phenyl	
6.08	-CH ₂ C≡CH	-CF ₃	4-Br-phenyl	
6.09	-CH ₂ C≡CH	-CF ₃	cycloheptyl	
6.10	-CH ₂ C≡CH	-CF ₃		
6.11	-CH ₂ C≡CH	-Cl	4-F-phenyl	
6.12	-CH ₂ C≡CH	-Cl	4-Cl-phenyl	
6.13	-CH ₂ C≡CH	-Cl	4-Br-phenyl	
6.14	-CH ₂ C≡CH	-Cl	cycloheptyl	

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6.15	$-\text{CH}_2\text{C}\equiv\text{CH}$	-Cl	
6.16	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	4-F-phenyl
6.17	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	4-Cl-phenyl
6.18	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	4-Br-phenyl
6.19	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	cycloheptyl
6.20	$-\text{CH}_2\text{C}\equiv\text{CH}$	$-\text{CF}_3$	
6.21	$-\text{COCH}_3$	-Cl	4-F-phenyl
6.22	$-\text{COCH}_3$	-Cl	4-Cl-phenyl
6.23	$-\text{COCH}_3$	-Cl	4-Br-phenyl
6.24	$-\text{COCH}_3$	-Cl	4-Me-cyclohexyl
6.25	$-\text{COCH}_3$	-Cl	3-Me-cyclohexyl
6.26	$-\text{COCH}_3$	-Cl	cycloheptyl
6.27	$-\text{COCH}_3$	-Cl	
6.28	$-\text{COCH}_3$	$-\text{CF}_3$	4-F-phenyl
6.29	$-\text{COCH}_3$	$-\text{CF}_3$	4-Cl-phenyl
6.30	$-\text{COCH}_3$	$-\text{CF}_3$	4-Br-phenyl
6.31	$-\text{COCH}_3$	$-\text{CF}_3$	4-Me-cyclohexyl
6.32	$-\text{COCH}_3$	$-\text{CF}_3$	3-Me-cyclohexyl
6.33	$-\text{COCH}_3$	$-\text{CF}_3$	cycloheptyl
6.34	$-\text{COCH}_3$	$-\text{CF}_3$	
6.35	$-\text{COCH}_2\text{CH}_3$	-Cl	4-F-phenyl
6.36	$-\text{COCH}_2\text{CH}_3$	-Cl	4-Cl-phenyl
6.37	$-\text{COCH}_2\text{CH}_3$	-Cl	4-Br-phenyl
6.38	$-\text{COCH}_2\text{CH}_3$	-Cl	3-Me-cyclohexyl

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6.39	-COCH ₂ CH ₃	-Cl	cycloheptyl
6.40	-COCH ₂ CH ₃	-Cl	
6.41	-COCH ₂ CH ₃	-CF ₃	4-F-phenyl
6.42	-COCH ₂ CH ₃	-CF ₃	4-Cl-phenyl
6.43	-COCH ₂ CH ₃	-CF ₃	4-Br-phenyl
6.44	-COCH ₂ CH ₃	-CF ₃	cycloheptyl
6.45	-COCH ₂ CH ₃	-CF ₃	
6.46	-COCH ₂ OCH ₃	-Cl	4-F-phenyl
6.47	-COCH ₂ OCH ₃	-Cl	4-Cl-phenyl
6.48	-COCH ₂ OCH ₃	-Cl	4-Br-phenyl
6.49	-COCH ₂ OCH ₃	-Cl	cycloheptyl
6.50	-COCH ₂ OCH ₃	-Cl	
6.51	-COCH ₂ OCH ₃	-CF ₃	4-F-phenyl
6.52	-COCH ₂ OCH ₃	-CF ₃	4-Cl-phenyl
6.53	-COCH ₂ OCH ₃	-CF ₃	4-Br-phenyl
6.54	-COCH ₂ OCH ₃	-CF ₃	cycloheptyl
6.55	-COCH ₂ OCH ₃	-CF ₃	
6.56	-COCH ₂ OCH ₂ CH ₃	-Cl	4-F-phenyl
6.57	-COCH ₂ OCH ₂ CH ₃	-Cl	4-Cl-phenyl
6.58	-COCH ₂ OCH ₂ CH ₃	-Cl	cycloheptyl
6.59	-COCH ₂ OCH ₂ CH ₃	-Cl	
6.60	-COCH ₂ OCH ₂ CH ₃	-CF ₃	4-F-phenyl

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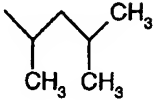
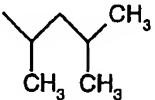
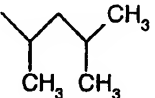
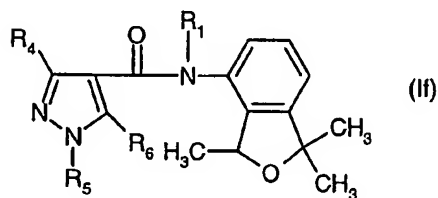
6.61	-COCH ₂ OCH ₂ CH ₃	-CF ₃	4-Cl-phenyl
6.62	-COCH ₂ OCH ₂ CH ₃	-CF ₃	cycloheptyl
6.63	-COCH ₂ OCH ₂ CH ₃	-CF ₃	
6.64	-COOCH ₃	-Cl	4-F-phenyl
6.65	-COOCH ₃	-Cl	4-Cl-phenyl
6.66	-COOCH ₃	-Cl	4-Br-phenyl
6.67	-COOCH ₃	-Cl	cycloheptyl
6.68	-COOCH ₃	-Cl	
6.69	-COOCH ₃	-CF ₃	4-F-phenyl
6.70	-COOCH ₃	-CF ₃	4-Cl-phenyl
6.71	-COOCH ₃	-CF ₃	4-Br-phenyl
6.72	-COOCH ₃	-CF ₃	cycloheptyl
6.73	-COOCH ₃	-CF ₃	

Table 7 Compounds of the general formula If

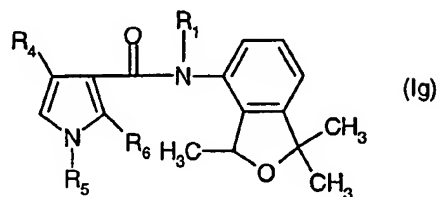


Cmpd. no.	R ₁	R ₄	R ₅	R ₆	phys.data m.p. °C
7.01	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	
7.02	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	F	
7.03	-CH ₂ CH=CH ₂	-CF ₂ H	-CH ₃	H	
7.04	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	

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7.05	-CH ₂ C≡CH	-CF ₃	-CH ₃	F
7.06	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H
7.07	-COCH ₃	-CF ₃	-CH ₃	H
7.08	-COCH ₃	-CF ₂ H	-CH ₃	H
7.09	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H
7.10	-COCH ₂ CH ₃	-CF ₂ H	-CH ₃	H
7.11	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H
7.12	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H
7.13	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	F
7.14	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H
7.15	-COCH ₂ OCH ₂ CH ₃	-CF ₂ H	-CH ₃	H
7.16	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	F
7.17	-COOCH ₃	-CF ₃	-CH ₃	H
7.18	-COOCH ₃	-CF ₂ H	-CH ₃	H
7.19	-COOCH ₃	-CF ₃	-CH ₃	F
7.20	-COOCH ₃	-CF ₂ H	-CH ₃	F

Table 8 Compounds of the general formula Ig

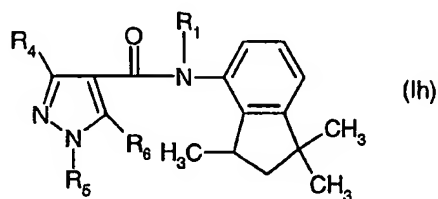


Cmpd. no.	R ₁	R ₄	R ₅	R ₆	phys.data m.p. °C
8.01	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	resin
8.02	-CH ₂ CH=CH ₂	-CF ₃	-CH ₂ OCH ₃	H	
8.03	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	
8.04	-CH ₂ C≡CH	-CF ₃	-CH ₂ OCH ₃	H	
8.05	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	
8.06	-COCH ₃	-CF ₃	-CH ₃	H	
8.07	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	
8.08	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	

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8.09	-COCH ₂ CH ₃	-CF ₃	-CH ₂ OCH ₃	H
8.10	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H
8.11	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	F
8.12	-COCH ₂ OCH ₃	-CF ₃	-CH ₂ OCH ₃	H
8.13	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H
8.14	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₂ OCH ₃	H
8.15	-COOCH ₃	-CF ₃	-CH ₃	H
8.16	-COOCH ₃	-CF ₃	-CH ₂ OCH ₃	H
8.17	-COOCH ₃	-CF ₃	-CH ₃	F

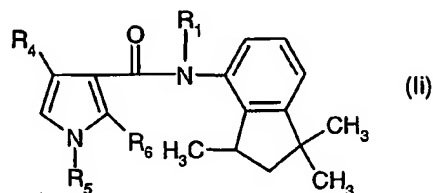
Table 9 Compounds of the general formula (Ih)



Cmpd. no.	R ₁	R ₄	R ₅	R ₆	phys.data m.p. °C
9.01	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	
9.02	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	F	
9.03	-CH ₂ CH=CH ₂	-CF ₂ H	-CH ₃	H	
9.04	-CH ₂ C≡CH	-CF ₃	-CH ₃	H	resin
9.05	-CH ₂ C≡CH	-CF ₃	-CH ₃	F	
9.06	-CH ₂ C≡CH	-CF ₂ H	-CH ₃	H	
9.07	-COCH ₃	-CF ₃	-CH ₃	H	
9.08	-COCH ₃	-CF ₂ H	-CH ₃	H	
9.09	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	
9.10	-COCH ₂ CH ₃	-CF ₂ H	-CH ₃	H	
9.11	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	
9.12	-COCH ₂ OCH ₃	-CF ₂ H	-CH ₃	H	
9.13	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	F	
9.14	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	
9.15	-COCH ₂ OCH ₂ CH ₃	-CF ₂ H	-CH ₃	H	

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9.18	-COOCH ₃	-CF ₂ H	-CH ₃	H
9.19	-COOCH ₃	-CF ₃	-CH ₃	F
9.20	-COOCH ₃	-CF ₂ H	-CH ₃	F

Table 10 Compounds of the general formula II

Cmpd. no.	R ₁	R ₄	R ₅	R ₆	phys.data m.p. °C
10.01	-CH ₂ CH=CH ₂	-CF ₃	-CH ₃	H	142-144
10.02	-CH ₂ CH=CH ₂	-CF ₃	-CH ₂ OCH ₃	H	
10.03	-CH ₂ C=CH	-CF ₃	-CH ₃	H	
10.04	-CH ₂ C=CH	-CF ₃	-CH ₂ OCH ₃	H	
10.05	-CH ₂ C=CH	-CF ₃	-CH ₃	F	
10.06	-COCH ₃	-CF ₃	-CH ₃	H	oil; M ^t = 422
10.07	-COCH ₃	-CF ₃	-CH ₂ OCH ₃	H	
10.08	-COCH ₂ CH ₃	-CF ₃	-CH ₃	H	
10.09	-COCH ₂ CH ₃	-CF ₃	-CH ₂ OCH ₃	H	
10.10	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	H	
10.11	-COCH ₂ OCH ₃	-CF ₃	-CH ₃	F	
10.12	-COCH ₂ OCH ₃	-CF ₃	-CH ₂ OCH ₃	H	
10.13	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₃	H	
10.14	-COCH ₂ OCH ₂ CH ₃	-CF ₃	-CH ₂ OCH ₃	H	
10.15	-COOCH ₃	-CF ₃	-CH ₃	H	
10.16	-COOCH ₃	-CF ₃	-CH ₂ OCH ₃	H	
10.17	-COOCH ₃	-CF ₃	-CH ₃	F	

Formulation Examples for compounds of formula I

Working procedures for preparing formulations of the compounds of formula I such as Emulsifiable concentrates, Solutions, Granulates, Dusts and Wettable powders are described in WO 97/33890.

Biological Examples: Fungicidal actionsExample B-1: Action against *Puccinia recondita* / wheat (Brownrust on wheat)

1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application wheat plants are inoculated by spraying a spore suspension (1×10^5 uredospores/ml) on the test plants. After an incubation period of 2 days at 20° C and 95% r. h. plants are kept in a greenhouse for 8 days at 20° C and 60% r.h. The disease incidence is assessed 10 days after inoculation.

Compounds of Tables 1 to 10 show good activity in these tests. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-2: Action against *Podosphaera leucotricha* / apple (Powdery mildew on apple)

5 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.002% active ingredient) in a spray chamber. One day after application apple plants are inoculated by shaking plants infected with apple powdery mildew above the test plants. After an incubation period of 12 days at 22° C and 60% r. h. under a light regime of 14/10 h (light/dark) the disease incidence is assessed.

Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-3: Action against *Venturia inaequalis* / apple (Scab on apple)

4 week old apple seedlings cv. McIntosh are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application apple plants are inoculated by spraying a spore suspension (4×10^5 conidia/ml) on the test plants. After an incubation period of 4 days at 21° C and 95% r. h. the plants are placed for 4 days at 21° C and 60% r. h. in a greenhouse. After another 4 day incubation period at 21° C and 95% r. h. the disease incidence is assessed.

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Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-4: Action against *Erysiphe graminis* / barley (Powdery mildew on barley)

1 week old barley plants cv. Express are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application barley plants are inoculated by shaking powdery mildew infected plants above the test plants. After an incubation period of 6 days at 20° C / 18° C (day/night) and 60% r. h. in a greenhouse the disease incidence is assessed.

Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-5: Action against *Botrytis cinerea* / apple (Botrytis on apple fruits)

In an apple fruit cv. Golden Delicious 3 holes are drilled and each filled with 30 µl droplets of the formulated test compound (0.002% active ingredient). Two hours after application 50 µl of a spore suspension of *B. cinerea* (4×10^5 conidia/ml) are pipetted on the application sites. After an incubation period of 7 days at 22° C in a growth chamber the disease incidence is assessed.

Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-6: Action against *Botrytis cinerea* / grape (Botrytis on grapes)

5 week old grape seedlings cv. Gutedel are treated with the formulated test compound (0.002% active ingredient) in a spray chamber. Two days after application grape plants are inoculated by spraying a spore suspension (1×10^6 conidia/ml) on the test plants. After an incubation period of 4 days at 21° C and 95% r. h. in a greenhouse the disease incidence is assessed.

Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-7: Action against *Botrytis cinerea* / tomato (Botrytis on tomatoes)

4 week old tomato plants cv. Roter Gnom are treated with the formulated test compound (0.002% active ingredient) in a spray chamber. Two days after application tomato plants are inoculated by spraying a spore suspension (1×10^5 conidia/ml) on the test plants. After an incubation period of 4 days at 20° C and 95% r. h. in a growth chamber the disease incidence is assessed.

Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-8: Action against *Pyrenophora teres* / barley (Net blotch on barley)

1 week old barley plants cv. Express are treated with the formulated test compound (0.002% active ingredient) in a spray chamber. Two days after application barley plants are inoculated by spraying a spore suspension (3×10^4 conidia/ml) on the test plants. After an incubation period of 2 days at 20° C and 95% r. h. plants are kept for 2 days at 20° C and 60% r.h. in a greenhouse. The disease incidence is assessed 4 days after inoculation.

Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

Example B-9: Action against *Septoria nodorum* / wheat (Septoria leaf spot on wheat)

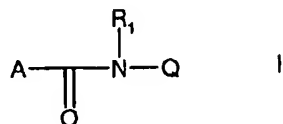
1 week old wheat plants cv. Arina are treated with the formulated test compound (0.02% active ingredient) in a spray chamber. One day after application wheat plants are inoculated by spraying a spore suspension (5×10^5 conidia/ml) on the test plants. After an incubation period of 1 day at 20° C and 95% r. h. plants are kept for 10 days at 20° C and 60% r.h. in a greenhouse. The disease incidence is assessed 11 days after inoculation.

Compounds of Tables 1 to 10 show good activity in this test. The compounds 1.24, 1.50, 2.1, 2.7, 2.9, 2.15, 2.42, 2.56, 2.62, 2.68, 2.75, 2.85, 2.91, 3.1, 3.8, 3.28, 3.67, 3.74, 10.3 and 10.10 exhibit strong efficacy (< 20% infestation).

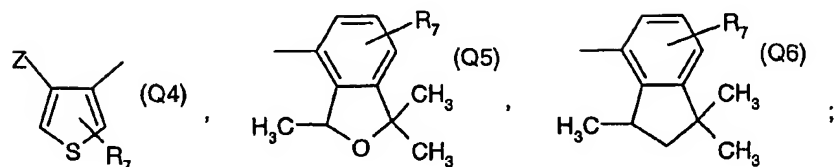
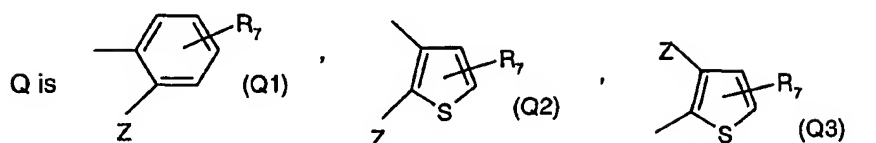
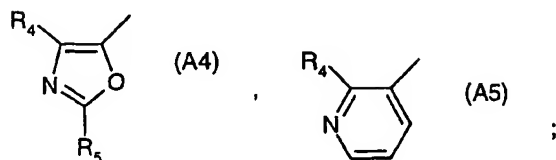
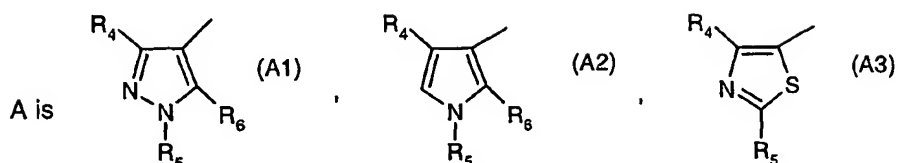
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What is claimed is

1. A carboxamide of the formula I



wherein



R₁ is $\text{CH}_2-\text{C}\equiv\text{C}-\text{R}_2$, $\text{CH}_2\text{CH}=\text{CHR}_2$, $\text{CH}=\text{C}=\text{CHR}_2$ or COR_3 ;

R₂ is hydrogen, C₁-C₆alkyl, C₁-C₆haloalkyl, C₂-C₆alkenyl, C₂-C₆alkynyl, C₃-C₇cycloalkyl, COOC₁-C₄alkyl, COOC₃-C₆alkenyl, COOC₃-C₆alkynyl or CN;

R₃ is C₁-C₆alkyl, C₁-C₆alkyl substituted by halogen, C₁-C₆alkoxy or C₁-C₆haloalkoxy; or is C₁-C₆alkylthio, C₁-C₆haloalkylthio, C₁-C₆alkoxy, C₁-C₆haloalkoxy; C₃-C₆alkenyloxy or C₃-C₆haloalkenyloxy; C₃-C₆alkynyloxy or C₃-C₆haloalkynyloxy;

R₄ is methyl, CF₂Cl, CF₃, CF₂H, CFH₂, Cl or Br;

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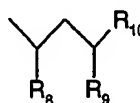
R₅ is methyl, CF₃, CH₂OCH₃ or CH₂OCF₃;

R₆ is hydrogen, fluoro, CF₃ or methyl;

R₇ is hydrogen, methyl or halogen; and

Z is phenyl, halophenyl, C₅-C₇cycloalkyl, C₅-C₇cycloalkyl substituted by C₁-C₃alkyl,

C₁-C₃haloalkyl or halogen, or a group of the form



wherein R₈, R₉ and R₁₀

are independently of each other C₁-C₃alkyl.

2. A compound of formula I according to claim 1, wherein

R₂ is hydrogen, C₁-C₄alkyl, C₁-C₄haloalkyl, C₂-C₄alkenyl, C₂-C₄alkynyl, C₃-C₆cycloalkyl, COOC₁-C₄alkyl, COOC₃-C₄alkenyl or COOC₃-C₄alkynyl ;

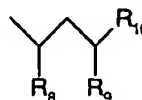
R₃ is C₁-C₆alkyl, C₁-C₆alkyl substituted by fluoro, chloro, bromo, C₁-C₆alkoxy or C₁-C₆haloalkoxy; or is C₁-C₄alkoxy, C₁-C₄haloalkoxy; C₃-C₄alkenyloxy or C₃-C₆haloalkynyloxy.

3. A compound of formula I according to claim 2, wherein

Q is Q1.

4. A compound of formula I according to claim 3, wherein

Z is phenyl, halophenyl or a group of the form



wherein R₈, R₉ and R₁₀ are

independently of each other C₁-C₃alkyl.

5. A compound of formula I according to claim 3, wherein

Z is C₅-C₇cycloalkyl unsubstituted or substituted by C₁-C₃alkyl, C₁-C₃haloalkyl or halogen.

6. A compound of formula I according to claim 2, wherein

A is A1 or A2; and

Q is Q5 or Q6.

7. A compound of formula I according to claim 2, wherein

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A is A1 or A2; and

Q is Q2, Q3 or Q4.

8. A compound of formula according to claim 2, wherein

A is A1, A2 or A3;

Q is Q1 or Q6; and

Z is phenyl, halophenyl or C₅-C₇cycloalkyl unsubstituted or substituted by C₁-C₃alkyl, C₁-C₃haloalkyl or halogen.

9. A compound according to claim 1, selected from the group comprising

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-[2'(4-chlorophenyl)phenyl]amide,

1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'(4-fluorophenyl)phenyl]amide,

1-methoxymethyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-methoxyacetyl)-(1,1,3-trimethylindan-4-yl)amide,
1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-propargyl)-(1,1,3-trimethylindan-4-yl)amide,
1-methyl-4-trifluoromethyl-1H-pyrrole-3-carboxylic acid (2-acetyl)-(1,1,3-trimethylindan-4-yl)amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-trifluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,

1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-propargyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methoxymethyl-4-difluoromethyl-pyrazole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-methoxyacetyl)-[2'-(4-chlorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-propargyl)-[2'-(4-fluorophenyl)phenyl]amide,
1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-propargyl)-[2'-(4-chlorophenyl)phenyl]amide,

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1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-acetyl)-[2'-(4-fluorophenyl)phenyl]amide,

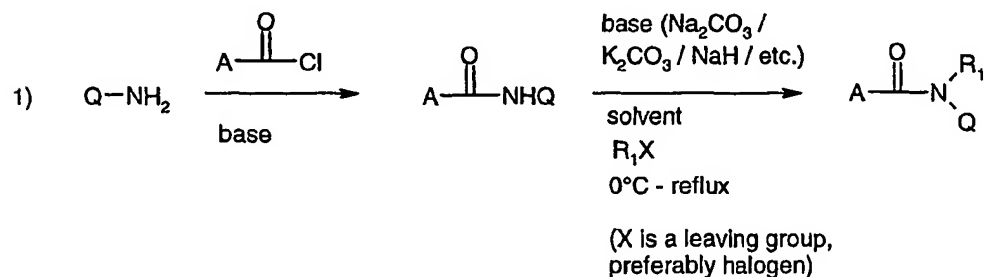
1-methyl-4-trifluoromethyl-thiazole-3-carboxylic acid (2-acetyl)-[2'-(4-chlorophenyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-carboxylic acid (2-methoxyacetyl)-[2'-(3-methylcyclohexyl)phenyl]amide,

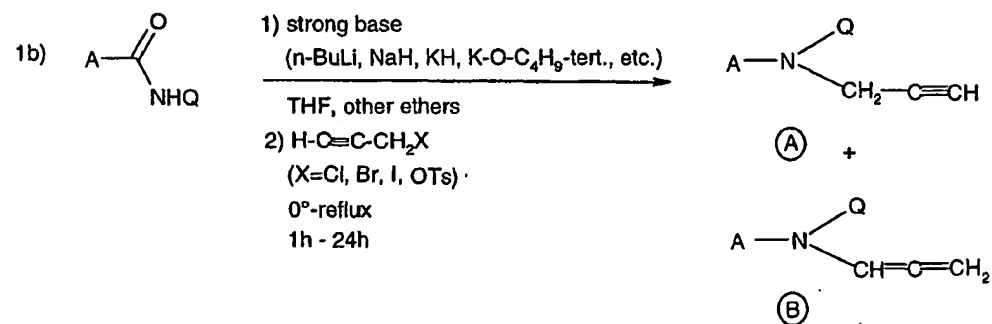
1-methyl-4-trifluoromethyl-1H-pyrrole-carboxylic acid (2-methoxyacetyl)-[2'-(3-ethylcyclohexyl)phenyl]amide,

1-methyl-4-trifluoromethyl-1H-pyrrole-carboxylic acid (2-methoxyacetyl)-[2'-(3-trifluoromethylcyclohexyl)phenyl]amide.

10. A process for the preparation of compounds of formula I which comprises reacting the starting materials according to the scheme

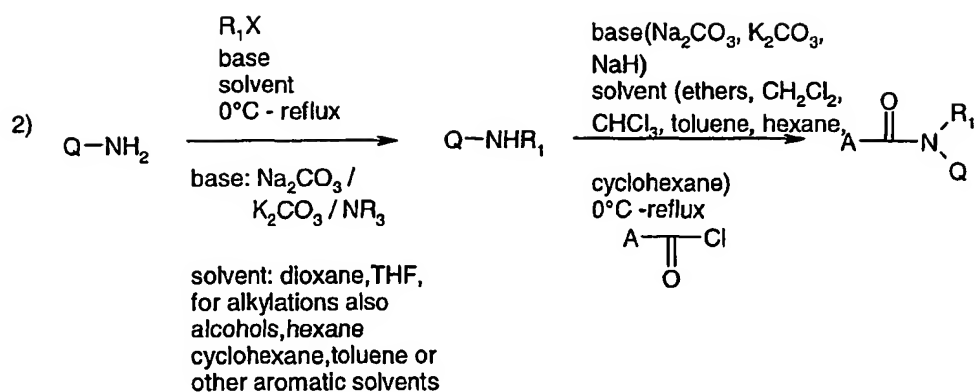


or



or

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wherein A, Q and R_1 are as defined for formula I in claim 1.

11. A composition for controlling microorganisms and preventing attack and infestation of plants therewith, wherein the active ingredient is a compound as claimed in claim 1 together with a suitable carrier.

12. Use of a compound of formula I according to claim 1 for protecting plants against infestation by phytopathogenic microorganisms.

13. A method of controlling or preventing infestation of cultivated plants by phytopathogenic microorganisms by application of a compound of formula I as claimed in claim 1 to plants, parts thereof or the locus thereof.

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 02/00717

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D207/34 A01N43/00 C07D231/14 C07D277/56

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 95 25723 A (AGREVO UK LTD.) 28 September 1995 (1995-09-28) claims; examples 12,59,106	1,11,12
Y	EP 1 036 793 A (MITSUI CHEMICALS INC.) 20 September 2000 (2000-09-20) claims	1,11,12
A	EP 0 315 502 A (SUMITOMO CHEMICAL COMPANY, LTD.) 10 May 1989 (1989-05-10) claims	1,11,12
A	WO 00 09482 A (NOVARTIS AG) 24 February 2000 (2000-02-24) claims	1,11,12



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

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E earlier document but published on or after the international filing date

L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

O document referring to an oral disclosure, use, exhibition or other means

P document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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& document member of the same patent family

Date of the actual completion of the international search

21 May 2002

Date of mailing of the international search report

29/05/2002

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3016

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Van Bijlen, H

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